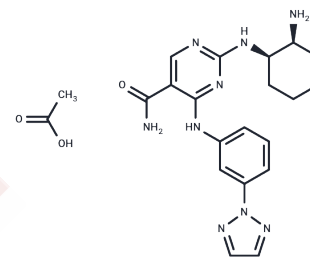


P505-15 Acetate

Chemical Properties

CAS No. :	1370261-98-5
Formula:	C ₂₁ H ₂₇ N ₉ O ₃
Molecular Weight:	453.5
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	P505-15 Acetate is a selective inhibitor of spleen tyrosine kinase that acts by suppressing leukocyte immune function and inflammation and leading to a reduction in arthritis score and attenuated histological damage.
Targets(IC50)	Apoptosis,MLK,FAK,PYK2,Syk,PAK,Src,Tyrosinase
In vitro	In human whole blood, P505-15 potently inhibited B cell antigen receptor-mediated B cell signaling and activation (IC ₅₀ 0.27 and 0.28 μM, respectively) and Fcε receptor 1-mediated basophil degranulation (IC ₅₀ 0.15 μM) [1]. P505-15 successfully inhibited SYK-mediated B-cell receptor signaling and decreased cell viability in NHL and CLL [2]. PRT318 and P505-15 effectively antagonize CLL cell survival after BCR triggering and in nurse-like cell-co-cultures. Moreover, they inhibit BCR-dependent secretion of the chemokines CCL3 and CCL4 by CLL cells, and leukemia cell migration toward the tissue homing chemokines CXCL12, CXCL13, and beneath stromal cells. PRT318 and P505-15 furthermore inhibit Syk and extracellular signal-regulated kinase phosphorylation after BCR triggering [3].
In vivo	Similar levels of ex vivo inhibition were measured after dosing in mice (Syk signaling IC ₅₀ 0.32 μM). Oral administration of P505-15 produced dose-dependent anti-inflammatory activity in two rodent models of rheumatoid arthritis [1]. Oral dosing in mice prevented BCR-mediated splenomegaly and significantly inhibited NHL tumor growth in a xenograft model. In addition, combination treatment of primary CLL cells with P505-15 plus fludarabine produced synergistic enhancement of activity at nanomolar concentrations [2].

Solubility Information

Solubility	DMSO: 10 mg/mL (22.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2051 mL	11.0254 mL	22.0507 mL
5 mM	0.441 mL	2.2051 mL	4.4101 mL
10 mM	0.2205 mL	1.1025 mL	2.2051 mL
50 mM	0.0441 mL	0.2205 mL	0.441 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Coffey G, et al. Specific inhibition of spleen tyrosine kinase suppresses leukocyte immune function and inflammation in animal models of rheumatoid arthritis. *J Pharmacol Exp Ther.* 2012;340(2):350-359.

Spurgeon SE, et al. The selective SYK inhibitor P505-15 (PRT062607) inhibits B cell signaling and function in vitro and in vivo and augments the activity of fludarabine in chronic lymphocytic leukemia. *J Pharmacol Exp Ther.* 2013; 344(2):378-387.

Hoellenriegel J, et al. Selective, novel spleen tyrosine kinase (Syk) inhibitors suppress chronic lymphocytic leukemia B-cell activation and migration. *Leukemia.* 2012;26(7):1576-158

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